

- L7 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
- GI For diagram(s), see printed CA Issue.
- Heterocyclyl (thio)carbamate and (thio)urea derivs. represented by general AB formula [I; R = (un)substituted aryl; R1 = cycloalkyl, (un)substituted aryl; R2 = H, OH, lower alkyl, lower alkoxy, cycloalkyl, aryl; R3 = H, lower alkyl; X = O, S; Y = O, S, (un) substituted NH, CH2, OCH2; ring A = heterocyclyl Q - Q1; wherein m, n = 1-4, provided that m + n = 3-5; l =1-3, provided that m + 1 = 3-5; p, q = 0, 1; r, s, t = 0-3, provided that r + s + t = 2 or 3; Z = N(0)qR4, N+R5R6.Q-; Z1 = N(0)q, N+R6.Q-; wherein Q- = anion; R4 = H, lower alkyl, alkenyl, or alkynyl, B-R7; R5 = lower alkyl, alkenyl, or alkynyl, B-R7; R6 = lower alkyl, alkenyl, or alkynyl; wherein R7 = cycloalkyl, lower (hydroxy)alkoxy, benzhydryl, (un) substituted aryl, optionally benzene ring-fused or (un) substituted heterocyclyl containing 1 or 2 heteroatoms; B = single bond, lower alkylene, alkenylene, or alkynylene] or salts, hydrates or solvates thereof are prepared A muscarine M3 receptor antagonist for preventing or treating digestive tract, respiratory or urol. diseases such as irritable bowel syndrome, spasmodic colitis, diverticulitis, chronic obstructive lung diseases, chronic bronchitis, asthma, rhinitis, neural pollakiurea, nocturnal enuresis, nervous bladder, unstable bladder, bladder contracture, chronic cystitis, urinary incontinence, and pollakiurea, contains the said compound I. Thus, 2.92 g NaBH(OAc)3 was added portion-wise to a solution of 1.60 g 4-piperidyl N-benzhydrylcarbamate hydrochloride (preparation given) and 0.40 mL 3-thiophenecarbaldehyde in 20 mL ClCH2CH2Cl and the resulting mixture was stirred at room temperature overnight to

give, after silica gel chromatog. and salt formation, a title compound [II.(CO2H)2]. II.(CO2H)2 in vitro showed binding affinity to muscarine M1 receptor of cerebral cortex, muscarine M2 receptor of heart, and muscarine M3 receptor of submaxillary gland with Ki value of 1.0, 350, and 6.0 nM, resp., and Ki(M2 receptor)/Ki (M3 receptor) ratio of 58.

- AN 1995:849168 CAPLUS
- DN 123:285789
- TI Preparation of heterocyclyl carbamate derivatives with muscarine M3 receptor antagonism
- IN Takeuchi, Makoto; Naito, Ryo; Morihira, Koichiro; Hayakawa, Masahiko; Ikeda, Ken; Isomura, Yasuo; Tomioka, Kenichi
- PA Yamanouchi Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 138 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT	NO.			KIN	D	DATE		4	APPL	ICAT	ION :	NO.		D.	ATE	
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ΡI	WO 9506	635			A1		1995	0309	1	WO 1	.994 ~	JP14	36		1	9940	831
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OS MARPAT 123:285789

IT 168830-01-1P 168830-81-7P 168830-82-8P

168830-86-2P 168830-88-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate for preparation of heterocyclyl (thio)carbamate derivs. as muscarine M3 receptor antagonists)

RN 168830-01-1 CAPLUS

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & \\ \text{Ph}_2\text{CH}-\text{NH}-\text{C}-\text{O} \end{array} \qquad \begin{array}{c} & \text{N} \\ & & \\ & & \\ \end{array}$$

RN 168830-81-7 CAPLUS

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 168830-82-8 CAPLUS

CN Propanamide, N-(diphenylmethyl)-3-[[1-(phenylmethyl)-4-piperidinyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{CH}_2-\mathsf{Ph} \\ \\ \mathsf{Ph}_2\mathsf{CH}-\mathsf{NH}-\mathsf{C}-\mathsf{CH}_2-\mathsf{CH}_2-\mathsf{NH} \end{array}$$

●2 HC1

RN 168830-86-2 CAPLUS

CN Carbamic acid, (diphenylmethyl)-, 1-[[4-[[(trifluoroacetyl)amino]methyl]ph enyl]methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)

RN 168830-88-4 CAPLUS

CN Carbamic acid, [4-[[4-[[(diphenylmethyl)amino]carbonyl]oxy]-1piperidinyl]methyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)

IT 168829-04-7P 168829-08-1P 168829-09-2P 168829-14-9P 168829-17-2P 168829-18-3P 168829-20-7P 168829-23-0P 168829-24-1P 168829-26-3P 168829-27-4P 168829-28-5P 168829-29-6P 168829-30-9P 168829-31-0P 168829-32-1P 168829-33-2P 168829-34-3P 168829-35-4P 168829-36-5P 168829-41-2P 168829-45-6P 168829-51-4P 168829-52-5P 168829-54-7P 168829-56-9P 168829-57-0P 168829-58-1P 168829-61-6P 168829-63-8P 168829-65-0P 168829-67-2P 168829-73-0P 168829-75-2P 168829-77-4P 168829-79-6P 168829-81-0P 168829-83-2P 168829-86-5P 168829-88-7P 168829-89-8P 168829-90-1P 168829-91-2P 168829-92-3P 168829-93-4P 168829-94-5P 168829-96-7P 168829-97-8P 168829-98-9P 168829-99-0P 168830-00-0P 168830-01-1P 168830-02-2P 168830-10-2P 168830-14-6P 168830-15-7P 168830-17-9P 168830-18-0P 168830-36-2P 168830-43-1P 168830-44-2P 168830-50-0P 168830-62-4P 168830-63-5P 168830-64-6P 168830-65-7P 168830-66-8P 168830-71-5P 168830-73-7P 168830-74-8P 168830-75-9P 168830-77-1P 168830-80-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclyl (thio)carbamate derivs. as muscarine M3 receptor antagonists)

RN 168829-04-7 CAPLUS

CN Carbamic acid, (diphenylmethyl)-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)

RN 168829-08-1 CAPLUS

CN Carbamic acid, (diphenylmethyl) -, 1-(phenylmethyl) -3-piperidinyl ester, (2E) -2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 168829-07-0 CMF C26 H28 N2 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 168829-09-2 CAPLUS

CN Carbamic acid, (1,1-diphenylethyl)-, 1-(phenylmethyl)-4-piperidinyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 168829-14-9 CAPLUS

CN Carbamic acid, (triphenylmethyl) -, 1-(phenylmethyl) -4-piperidinyl ester, (2E) -2-butenedioate (1:1) (9CI) (CA INDEX NAME)

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AB R5Z3NRR6 [R = piperidyl group Q1; R5 = alkyl, alkoxy, (hetero)aryl, etc.; R6 = H, alk(en)yl; R5R6 = atoms to form a benzanellated ring; Z3 = C0 or S02; 1 of Z4,Z5 = NR1 and the other = CH2; R1 = e.g., (un)substituted aryl group Q2; R12 = H, (halo)alkyl, heteroaryl, etc.; Z = bond, O, S, alkylimino, etc.; Z1,Z2 = bond, O, S00-2, CO, etc.; Z11 = bond, alkylene, arylene, etc.] were prepared as microsomal triglyceride transfer protein inhibitors (no data). Thus, N-propyl-9-fluorenecarboxamide (preparation given) was alkylated by I(CH2)4OSiMe2CMe3 (preparation given) and the deprotected and iodinated product aminated by 2-(4-piperidinyl)-2,3-dihydro-1H-isoindol-1-one (preparation given) to give title compound I.

AN 1996:641305 CAPLUS

DN 125:275663

TI Preparation of 9-(piperidinoalkyl)fluorene-9-carboxamides and analogs as microsomal triglyceride transfer protein inhibitors

IN Wetterau, John R. II; Sharp, Daru Young; Gregg, Richard E.; Biller, Scott A.; Dickson, John A.; Lawrence, R. Michael; Magnin, David R.; Poss, Michael A.; Robl, Jeffrey A.; et al.

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 427 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

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OS MARPAT 125:275663 IT 182432-59-3P 182436-56-2P 182438-01-3P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 9-(piperidinoalkyl)fluorene-9-carboxamides and analogs as microsomal triglyceride transfer protein inhibitors)

RN 182432-59-3 CAPLUS

1,2-Benzenedicarboxamide, N-(diphenylmethyl)-N'-[1-[4-[9-[[(2,2,2-trifluoroethyl)amino]carbonyl]-9H-fluoren-9-yl]butyl]-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

$$_{\text{F}_3\text{C--CH}_2-\text{NH--C}}^{\text{O}}$$

RN 182436-56-2 CAPLUS

CN 1,2-Benzenedicarboxamide, N-(diphenylmethyl)-N'-[1-[4-[9-[[(2,2,2-trifluoroethyl)amino]carbonyl]-9H-fluoren-9-yl]butyl]-4-piperidinyl}-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 2-A

● HCl

182438-01-3 CAPLUS RN1,2-Benzenedicarboxamide, N-(diphenylmethyl)-N'-[1-[4-[9-[[(2,2,2-trifluoroethyl)amino]carbonyl]-9H-fluoren-9-yl]butyl]-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME) CN

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN 1.7 The replacement of the benzhydrylic oxygen atom of our previously AB developed dopamine transporter (DAT)-specific ligands 4-[2-(diphenylmethoxy) ethyl]-1-[(4-fluorophenyl) methyl] piperidine and 4-[2-(bis(4-fluorophenyl)methoxy)ethyl]-1-benzylpiperidine by a nitrogen atom resulted in the development of N-analogs 4-[2-((diphenylmethyl) amino) ethyl] -1-[(4-fluorophenyl) methyl] piperidine and 4-[2-((bis(4-fluorophenyl)methyl)amino)ethyl]-1-benzylpiperidine. Biol. evaluation of these compds. in rat striatal tissue and in HEK-293 cells expressing the cloned human transporters demonstrated high potency and selectivity of these compds. for the DAT. Thus the potency of 4-[2-((diphenylmethyl)amino)ethyl]-1-[(4-fluorophenyl)methyl]piperidine for the DAT was 9.4 and 30 nM in rat striatal tissue and in the cloned transporter cells, and its binding selectivity for the DAT compared to the serotonin transporter (SERT) for these two systems was 62 and 195, resp. Similarly, 4-[2-((bis(4-fluorophenyl)methyl)amino)ethyl]-1benzylpiperidine exhibited high potency and selectivity for the DAT. Thus, the replacement of the O atom in the parent compds. only had small effects on potency and selectivity. In comparison with GBR 12909 [1-[2-(bis(4-fluorophenyl)methoxy)ethyl]-4-(3-phenylpropyl)piperazine] and WIN 35,428 [3 β -(p-fluorophenyl)-2 β -carbomethoxytropane] binding, these two novel N-analogs were slightly more potent and far more selective for the DAT. Thus, these novel N-analogs represent more polar new-generation piperidine congeners of GBR 12909. They might have useful potential application in developing a pharmacotherapy for cocaine dependence. 1998:505470 CAPLUS

- ΔN
- 129:175524 DN
- ТΤ Tolerance in the Replacement of the Benzhydrylic O Atom in 4-[2-(Diphenylmethoxy)ethyl]-1-benzylpiperidine Derivatives by an N Atom: Development of New-Generation Potent and Selective N-Analog Molecules for the Dopamine Transporter
- Dutta, Aloke K.; Xu, Cen; Reith, Maarten E. A. Organix Inc., Woburn, MA, 01801, USA ΑU
- CS
- SO Journal of Medicinal Chemistry (1998), 41(17), 3293-3297 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DTJournal
- LA English
- IT 211631-82-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of [((phenylmethyl)amino)ethyl][(fluorophenyl)methyl]piperidine derivs. as dopamine transporter ligands)

- RN 211631-82-2 CAPLUS
- CN4-Piperidineacetamide, N-[bis(4-fluorophenyl)methyl]-1-(phenylmethyl)-(CA INDEX NAME)

IT 211631-81-1P